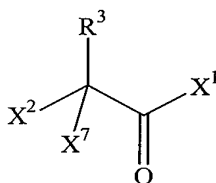


This listing of claims will replace all prior versions and listings of claims in the application.

Listing of Claims

1. (Currently Amended) A compound of Formula I:



I

in which:

X<sup>1</sup> is -NHC(R<sup>1</sup>)(R<sup>2</sup>)X<sup>3</sup> or -NHX<sup>4</sup>;

X<sup>2</sup> is hydrogen, fluoro, -OH, -OR<sup>4</sup>, -NHR<sup>15</sup> or -NR<sup>17</sup>R<sup>18</sup> and X<sup>7</sup> is hydrogen or X<sup>2</sup> and X<sup>7</sup> both represent fluoro;

X<sup>3</sup> is cyano, -C(R<sup>7</sup>)(R<sup>8</sup>)R<sup>16</sup>, -C(R<sup>6</sup>)(OR<sup>6</sup>)<sub>2</sub>, -CH<sub>2</sub>C(O)R<sup>16</sup>, -CH=CHS(O)<sub>2</sub>R<sup>5</sup>, -C(O)CF<sub>2</sub>C(O)NR<sup>5</sup>R<sup>5</sup>, -C(O)C(O)NR<sup>5</sup>R<sup>6</sup>, -C(O)C(O)OR<sup>5</sup>, -C(O)CH<sub>2</sub>OR<sup>5</sup>, -C(O)CH<sub>2</sub>N(R<sup>6</sup>)SO<sub>2</sub>R<sup>5</sup> or -C(O)C(O)R<sup>5</sup>; wherein R<sup>5</sup> is hydrogen, (C<sub>1-4</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl; R<sup>6</sup> is hydrogen, hydroxy or (C<sub>1-6</sub>)alkyl; or where X<sup>3</sup> contains an -NR<sup>5</sup>R<sup>6</sup> group, R<sup>5</sup> and R<sup>6</sup> together with the nitrogen atom to which they are both attached, form hetero(C<sub>3-10</sub>)cycloalkyl, hetero(C<sub>5-10</sub>)aryl or hetero(C<sub>8-10</sub>)bicycloaryl; R<sup>7</sup> is hydrogen or (C<sub>1-4</sub>)alkyl and R<sup>8</sup> is hydroxy or R<sup>7</sup> and R<sup>8</sup> together form oxo; R<sup>16</sup> is hydrogen, -X<sup>4</sup>, -CF<sub>3</sub>, -CF<sub>2</sub>CF<sub>2</sub>R<sup>9</sup> or -N(R<sup>6</sup>)OR<sup>6</sup>; R<sup>9</sup> is hydrogen, halo, (C<sub>1-4</sub>)alkyl, (C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl or (C<sub>5-10</sub>)heteroaryl(C<sub>0-6</sub>)alkyl, with the proviso that when X<sup>3</sup> is cyano, then X<sup>2</sup> is hydrogen, fluoro, -OH, -OR<sup>4</sup> or -NR<sup>17</sup>R<sup>18</sup> and X<sup>7</sup> is hydrogen or X<sup>2</sup> and X<sup>7</sup> both represent fluoro;

$X^4$  ~~is comprises~~ a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof, with the proviso that when  $-X^4$  is other than a heteromonocyclic ring containing 5 ring member atoms, wherein no more than two of the ring member atoms comprising the ring are heteroatoms, then  $X^2$  is fluoro,  $-OH$ ,  $-OR^4$ ,  $-NHR^{15}$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

wherein within  $R^5$ ,  $X^3$  or  $X^4$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$  is a bond or  $(C_{1-6})$ alkylene;  $R^{12}$  at each occurrence independently is hydrogen,  $(C_{1-6})$ alkyl or halo-substituted $(C_{1-6})$ alkyl;  $R^{13}$  is  $(C_{1-6})$ alkyl or halo-substituted $(C_{1-6})$ alkyl; and  $R^{14}$  is  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl, or  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;

$R^1$  is hydrogen or  $(C_{1-6})$ alkyl and  $R^2$  is selected from a group consisting of hydrogen, cyano,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-R^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above; or  $R^1$  and  $R^2$  taken together with the carbon atom to which both  $R^1$  and  $R^2$  are attached form  $(C_{3-8})$ cycloalkylene or  $(C_{3-8})$ heterocycloalkylene; wherein within said  $R^2$  any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or

substituted with 1 to 3 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and -X<sup>5</sup>C(O)R<sup>13</sup>, wherein X<sup>5</sup>, R<sup>12</sup> and R<sup>13</sup> are as defined above;

R<sup>3</sup> is (C<sub>1-6</sub>)alkyl or -C(R<sup>6</sup>)(R<sup>6</sup>)X<sup>6</sup>, wherein R<sup>6</sup> is hydrogen or (C<sub>1-6</sub>)alkyl and X<sup>6</sup> is selected from -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup> wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as defined above;

R<sup>4</sup> is selected from -X<sup>8</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>OR<sup>12</sup>, -X<sup>8</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>8</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>8</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>8</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>8</sup>S(O)R<sup>13</sup>, -X<sup>8</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>, -X<sup>8</sup>OR<sup>14</sup>, -X<sup>8</sup>SR<sup>14</sup>, -X<sup>8</sup>S(O)R<sup>14</sup>, -X<sup>8</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>8</sup>OC(O)R<sup>14</sup>, -X<sup>8</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>, -X<sup>8</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>8</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup> wherein X<sup>8</sup> is (C<sub>1-6</sub>)alkylene and X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as defined above, with the proviso that when X<sup>3</sup> is cyano and X<sup>2</sup> is -OR<sup>4</sup>, where R<sup>4</sup> is defined as -R<sup>14</sup>, then R<sup>14</sup> is (C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl;

R<sup>15</sup> is (C<sub>6-10</sub>)aryl, hetero(C<sub>5-10</sub>)aryl, (C<sub>9-10</sub>)bicycloaryl or hetero(C<sub>8-10</sub>)bicycloaryl;

R<sup>17</sup> is (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl, with the proviso that when X<sup>3</sup> is cyano, then R<sup>17</sup> is

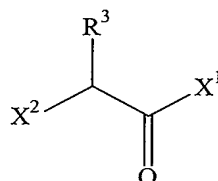
(C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl;

R<sup>18</sup> is hydrogen, (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl, with the proviso that when X<sup>3</sup> is cyano, then R<sup>18</sup> is (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl; and

wherein within R<sup>3</sup>, R<sup>4</sup>, R<sup>15</sup>, R<sup>17</sup> and R<sup>18</sup> any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>C(O)R<sup>13</sup> and -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>; and within R<sup>3</sup> and R<sup>4</sup> any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro, -NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>C(O)R<sup>12</sup>, -NR<sup>12</sup>C(O)OR<sup>12</sup>, -NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -OR<sup>12</sup>, -SR<sup>12</sup>, -C(O)OR<sup>12</sup>, -C(O)R<sup>12</sup>, -OC(O)R<sup>12</sup>, -C(O)NR<sup>12</sup>R<sup>12</sup>, -S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -NR<sup>12</sup>C(O)R<sup>13</sup>, -S(O)R<sup>13</sup> and -S(O)<sub>2</sub>R<sup>13</sup>; wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as described above, with the proviso that when X<sup>3</sup> is cyano and X<sup>2</sup> is -OR<sup>4</sup>, where R<sup>4</sup> is defined as -R<sup>14</sup>, or -NHR<sup>18</sup>, then any aromatic ring system present within R<sup>14</sup> or R<sup>18</sup> is not substituted further by halo, (C<sub>3-10</sub>)cycloalkyl, hetero(C<sub>3-10</sub>)cycloalkyl, (C<sub>6-10</sub>)aryl, hetero(C<sub>5-10</sub>)aryl, (C<sub>9-10</sub>)bicycloaryl or hetero(C<sub>8-10</sub>)bicycloaryl; with the proviso that only one bicyclic ring structure is present within R<sup>3</sup>, R<sup>4</sup> or R<sup>15</sup>; ~~N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof~~; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of

~~stereoisomers thereof and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

2. (Original) A compound of Claim 1, which is of the following formula:



in which X<sup>2</sup> is hydrogen, fluoro, -OH, -OR<sup>4</sup>, -NHR<sup>15</sup>;

R<sup>3</sup>, R<sup>4</sup>, R<sup>15</sup> and X<sup>1</sup> are the same as defined in claim 1.

3. (Currently Amended) A compound of Claim 1 or Claim 2 in which:

X<sup>1</sup> is -NHC(R<sup>1</sup>)(R<sup>2</sup>)X<sup>3</sup> or -NHCH(R<sup>19</sup>)C(O)R<sup>20</sup>;

X<sup>2</sup> is hydrogen, fluoro, -OH, -OR<sup>4</sup>, -NHR<sup>15</sup> or -NR<sup>17</sup>R<sup>18</sup> and X<sup>7</sup> is hydrogen or X<sup>2</sup> and X<sup>7</sup> both represent fluoro;

X<sup>3</sup> is cyano, -C(R<sup>7</sup>)(R<sup>8</sup>)R<sup>16</sup>, -C(R<sup>6</sup>)(OR<sup>6</sup>)<sub>2</sub>, -CH<sub>2</sub>C(O)R<sup>16</sup>, -CH=CHS(O)<sub>2</sub>R<sup>5</sup>,

-C(O)CF<sub>2</sub>C(O)NR<sup>5</sup>R<sup>5</sup>, -C(O)C(O)NR<sup>5</sup>R<sup>6</sup>, -C(O)C(O)OR<sup>5</sup>, -C(O)CH<sub>2</sub>OR<sup>5</sup>,

-C(O)CH<sub>2</sub>N(R<sup>6</sup>)SO<sub>2</sub>R<sup>5</sup> or -C(O)C(O)R<sup>5</sup>; wherein R<sup>5</sup> is hydrogen, (C<sub>1-4</sub>)alkyl,

(C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl,

hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl;

R<sup>6</sup> is hydrogen, hydroxy or (C<sub>1-6</sub>)alkyl; or where X<sup>3</sup> contains an -NR<sup>5</sup>R<sup>6</sup> group, R<sup>5</sup> and R<sup>6</sup>

together with the nitrogen atom to which they are both attached, form hetero(C<sub>3-10</sub>)cycloalkyl,

hetero(C<sub>5-10</sub>)aryl or hetero(C<sub>8-10</sub>)bicycloaryl; R<sup>7</sup> is hydrogen or (C<sub>1-4</sub>)alkyl and R<sup>8</sup> is hydroxy or

R<sup>7</sup> and R<sup>8</sup> together form oxo; R<sup>16</sup> is hydrogen, -X<sup>4</sup>, -CF<sub>3</sub>, -CF<sub>2</sub>CF<sub>2</sub>R<sup>9</sup> or -N(R<sup>6</sup>)OR<sup>6</sup>; R<sup>9</sup> is

hydrogen, halo, (C<sub>1-4</sub>)alkyl, (C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl or (C<sub>5-10</sub>)heteroaryl(C<sub>0-6</sub>)alkyl, with the proviso

that when  $X^3$  is cyano, then  $X^2$  is hydrogen, fluoro,  $-OH$ ,  $-OR^4$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

$X^4$  ~~is~~ comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof, with the proviso that when  $-X^4$  is other than a heteromonocyclic ring containing 5 ring member atoms, wherein no more than two of the ring member atoms comprising the ring are heteroatoms, then  $X^2$  is fluoro,  $-OH$ ,  $-OR^4$ ,  $-NHR^{15}$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

wherein within  $R^5$ ,  $X^3$  or  $X^4$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$  is a bond or  $(C_{1-6})$ alkylene;  $R^{12}$  at each occurrence independently is hydrogen,  $(C_{1-6})$ alkyl or halo-substituted $(C_{1-6})$ alkyl;  $R^{13}$  is  $(C_{1-6})$ alkyl or halo-substituted $(C_{1-6})$ alkyl; and  $R^{14}$  is  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;

$R^1$  is hydrogen or  $(C_{1-6})$ alkyl and  $R^2$  is selected from a group consisting of hydrogen, cyano,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-R^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined

above; or  $R^1$  and  $R^2$  taken together with the carbon atom to which both  $R^1$  and  $R^2$  are attached form  $(C_{3-8})$ cycloalkylene or  $(C_{3-8})$ heterocycloalkylene; wherein within said  $R^2$  any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted  $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$  and  $-X^5C(O)R^{13}$ , wherein  $X^5$ ,  $R^{12}$  and  $R^{13}$  are as defined above;

$R^3$  is  $(C_{1-6})$ alkyl or  $-C(R^6)(R^6)X^6$ , wherein  $R^6$  is hydrogen or  $(C_{1-6})$ alkyl and  $X^6$  is selected from  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5C(O)R^{13}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$  wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above;

$R^4$  is selected from  $-X^8NR^{12}R^{12}$ ,  $-X^8NR^{12}C(O)R^{12}$ ,  $-X^8NR^{12}C(O)OR^{12}$ ,  $-X^8NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^8NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^8OR^{12}$ ,  $-X^8SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^8OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^8S(O)_2NR^{12}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{12}$ ,  $-X^8P(O)(OR^{12})OR^{12}$ ,  $-X^8OP(O)(OR^{12})OR^{12}$ ,  $-X^5C(O)R^{13}$ ,  $-X^8NR^{12}C(O)R^{13}$ ,  $-X^8S(O)R^{13}$ ,  $-X^8S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^8OR^{14}$ ,  $-X^8SR^{14}$ ,  $-X^8S(O)R^{14}$ ,  $-X^8S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^8OC(O)R^{14}$ ,  $-X^8NR^{14}R^{12}$ ,  $-X^8NR^{12}C(O)R^{14}$ ,  $-X^8NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^8S(O)_2NR^{14}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{14}$ ,  $-X^8NR^{12}C(O)NR^{14}R^{12}$  and  $-X^8NR^{12}C(NR^{12})NR^{14}R^{12}$  wherein  $X^8$  is  $(C_{1-6})$ alkylene and  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above, with the proviso that when  $X^3$  is cyano and  $X^2$  is  $-OR^4$ , where  $R^4$  is defined as  $-R^{14}$ , then  $R^{14}$  is  $(C_{3-10})$ cycloalkyl  $(C_{1-6})$ alkyl, hetero  $(C_{3-10})$ cycloalkyl  $(C_{1-3})$ alkyl,  $(C_{6-10})$ aryl  $(C_{1-6})$ alkyl, hetero  $(C_{5-10})$ aryl  $(C_{1-6})$ alkyl,  $(C_{9-10})$ bicycloaryl  $(C_{1-6})$ alkyl or hetero  $(C_{8-10})$ bicycloaryl  $(C_{1-6})$ alkyl;

$R^{15}$  is  $(C_{6-10})$ aryl, hetero  $(C_{5-10})$ aryl,  $(C_{9-10})$ bicycloaryl or hetero  $(C_{8-10})$ bicycloaryl;

R<sup>17</sup> is (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl, with the proviso that when X<sup>3</sup> is cyano, then R<sup>17</sup> is (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl;

R<sup>18</sup> is hydrogen, (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl, with the proviso that when X<sup>3</sup> is cyano, then R<sup>18</sup> is (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl;  
and

R<sup>19</sup> and R<sup>20</sup> together with the atoms to which R<sup>19</sup> and R<sup>20</sup> are attached form (C<sub>4-8</sub>)heterocycloalkylene, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from -NR<sup>21</sup>- or -O-, wherein the ring is unsubstituted or substituted with R<sup>2</sup>, wherein R<sup>2</sup> is as defined above, and R<sup>21</sup> is hydrogen, -C(O)OR<sup>12</sup>, -C(O)R<sup>12</sup>, -C(O)NR<sup>12</sup>R<sup>12</sup>, -S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -S(O)R<sup>13</sup> and -S(O)<sub>2</sub>R<sup>13</sup>, -S(O)R<sup>14</sup>, -S(O)<sub>2</sub>R<sup>14</sup>, -C(O)R<sup>14</sup>, -C(O)OR<sup>14</sup>, -C(O)NR<sup>12</sup>R<sup>12</sup> and -S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, wherein R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as defined above; wherein within R<sup>3</sup>, R<sup>4</sup>, R<sup>15</sup>, R<sup>17</sup> and R<sup>18</sup> any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>C(O)R<sup>13</sup> and -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>; and within R<sup>3</sup> and R<sup>4</sup> any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro, -NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>C(O)R<sup>12</sup>, -NR<sup>12</sup>C(O)OR<sup>12</sup>, -NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -OR<sup>12</sup>, -SR<sup>12</sup>, -C(O)OR<sup>12</sup>, -C(O)R<sup>12</sup>, -OC(O)R<sup>12</sup>, -C(O)NR<sup>12</sup>R<sup>12</sup>, -S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>,



$-P(O)(OR^{12})OR^{12}$ ,  $-OP(O)(OR^{12})OR^{12}$ ,  $-NR^{12}C(O)R^{13}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ; wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as described above, with the proviso that when  $X^3$  is cyano and  $X^2$  is  $-OR^4$ , where  $R^4$  is defined as  $-R^{14}$ , or  $-NHR^{18}$ , then any aromatic ring system present within  $R^{14}$  or  $R^{18}$  is not substituted further by halo,  $(C_{3-10})$ cycloalkyl, hetero $(C_{3-10})$ cycloalkyl,  $(C_{6-10})$ aryl, hetero $(C_{5-10})$ aryl,  $(C_{9-10})$ bicycloaryl or hetero $(C_{8-10})$ bicycloaryl; with the proviso that only one bicyclic ring structure is present within  $R^3$ ,  $R^4$  or  $R^{15}$ ; ~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof and the ~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

4. (Currently Amended) The compound of Claim 1 or Claim 2 in which:

$X^1$  is  $-NHC(R^1)(R^2)X^3$  or  $-NHCH(R^{19})C(O)R^{20}$ ;

$X^2$  is hydrogen, fluoro,  $-OH$ ,  $-OR^4$ ,  $-NHR^{15}$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

$X^3$  is  $-C(R^7)(R^8)R^{16}$ ,  $-C(R^6)(OR^6)_2$ ,  $-CH_2C(O)R^{16}$ ,  $-CH=CHS(O)_2R^5$ ,  $-C(O)CF_2C(O)NR^5R^5$ ,  $-C(O)C(O)NR^5R^6$ ,  $-C(O)C(O)OR^5$ ,  $-C(O)CH_2OR^5$ ,  $-C(O)CH_2N(R^6)SO_2R^5$  or  $-C(O)C(O)R^5$ ; wherein  $R^5$  is hydrogen,  $(C_{1-4})$ alkyl,  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;  $R^6$  is hydrogen, hydroxy or  $(C_{1-6})$ alkyl; or where  $X^3$  contains an  $-NR^5R^6$  group,  $R^5$  and  $R^6$  together with the nitrogen atom to which they are both attached, form hetero $(C_{3-10})$ cycloalkyl, hetero $(C_{5-10})$ aryl or hetero $(C_{8-10})$ bicycloaryl;  $R^7$  is hydrogen or  $(C_{1-4})$ alkyl and  $R^8$  is hydroxy or  $R^7$  and  $R^8$  together form oxo;  $R^{16}$  is hydrogen,  $-X^4$ ,  $-CF_3$ ,  $-CF_2CF_2R^9$  or  $-N(R^6)OR^6$ ;  $R^9$  is hydrogen, halo,  $(C_{1-4})$ alkyl,  $(C_{5-10})$ aryl $(C_{0-6})$ alkyl or  $(C_{5-10})$ heteroaryl $(C_{0-6})$ alkyl;

$X^4$  ~~is~~ comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof, with the proviso that when  $-X^4$  is other than a

heteromonocyclic ring containing 5 ring member atoms, wherein no more than two of the ring member atoms comprising the ring are heteroatoms, then  $X^2$  is fluoro, -OH, -OR<sup>4</sup>, -NHR<sup>15</sup> or -NR<sup>17</sup>R<sup>18</sup> and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

wherein within R<sup>5</sup>, X<sup>3</sup> or X<sup>4</sup> any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup> and -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup> is a bond or (C<sub>1-6</sub>)alkylene; R<sup>12</sup> at each occurrence independently is hydrogen, (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; R<sup>13</sup> is (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; and R<sup>14</sup> is (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl;

R<sup>1</sup> is hydrogen or (C<sub>1-6</sub>)alkyl and R<sup>2</sup> is selected from a group consisting of hydrogen, cyano, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as defined above; or R<sup>1</sup> and R<sup>2</sup> taken together with the carbon atom to which both R<sup>1</sup> and R<sup>2</sup> are attached form (C<sub>3-8</sub>)cycloalkylene or (C<sub>3-8</sub>)heterocycloalkylene; wherein within said R<sup>2</sup> any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>,

$-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  
 $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$  and  
 $-X^5C(O)R^{13}$ , wherein  $X^5$ ,  $R^{12}$  and  $R^{13}$  are as defined above;

$R^3$  is  $(C_{1-6})$ alkyl or  $-C(R^6)(R^6)X^6$ , wherein  $R^6$  is hydrogen or  $(C_{1-6})$ alkyl and  $X^6$  is selected from  
 $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  
 $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  
 $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  
 $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5C(O)R^{13}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^5OR^{14}$ ,  
 $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  
 $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  
 $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$  wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined  
above;

$R^4$  is selected from  $-X^8NR^{12}R^{12}$ ,  $-X^8NR^{12}C(O)R^{12}$ ,  $-X^8NR^{12}C(O)OR^{12}$ ,  $-X^8NR^{12}C(O)NR^{12}R^{12}$ ,  
 $-X^8NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^8OR^{12}$ ,  $-X^8SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^8OC(O)R^{12}$ ,  
 $-X^5C(O)NR^{12}R^{12}$ ,  $-X^8S(O)_2NR^{12}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{12}$ ,  $-X^8P(O)(OR^{12})OR^{12}$ ,  
 $-X^8OP(O)(OR^{12})OR^{12}$ ,  $-X^5C(O)R^{13}$ ,  $-X^8NR^{12}C(O)R^{13}$ ,  $-X^8S(O)R^{13}$ ,  $-X^8S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^8OR^{14}$ ,  
 $-X^8SR^{14}$ ,  $-X^8S(O)R^{14}$ ,  $-X^8S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^8OC(O)R^{14}$ ,  $-X^8NR^{14}R^{12}$ ,  
 $-X^8NR^{12}C(O)R^{14}$ ,  $-X^8NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^8S(O)_2NR^{14}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{14}$ ,  
 $-X^8NR^{12}C(O)NR^{14}R^{12}$  and  $-X^8NR^{12}C(NR^{12})NR^{14}R^{12}$  wherein  $X^8$  is  $(C_{1-6})$ alkylene and  $X^5$ ,  $R^{12}$ ,  
 $R^{13}$  and  $R^{14}$  are as defined above;

$R^{15}$  is  $(C_{6-10})$ aryl, hetero $(C_{5-10})$ aryl,  $(C_{9-10})$ bicycloaryl or hetero $(C_{8-10})$ bicycloaryl;

$R^{17}$  is hydrogen,  $(C_{1-6})$ alkyl,  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl,  
 $(C_{6-10})$ aryl $(C_{0-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or  
hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;

$R^{18}$  is  $(C_{1-6})$ alkyl,  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl,  
 $(C_{6-10})$ aryl $(C_{0-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or  
hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl; and

$R^{19}$  and  $R^{20}$  together with the atoms to which  $R^{19}$  and  $R^{20}$  are attached form  
 $(C_{4-8})$ heterocycloalkylene, wherein no more than one of the ring member atoms comprising the

ring is a heteroatom selected from  $-NR^{21}-$  or  $-O-$ , wherein the ring is unsubstituted or substituted with  $R^2$ , wherein  $R^2$  is as defined above, and  $R^{21}$  is hydrogen,  $-C(O)OR^{12}$ ,  $-C(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ,  $-S(O)R^{14}$ ,  $-S(O)_2R^{14}$ ,  $-C(O)R^{14}$ ,  $-C(O)OR^{14}$ ,  $-C(O)NR^{12}R^{12}$  and  $-S(O)_2NR^{14}R^{12}$ , wherein  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above; wherein within  $R^3$ ,  $R^4$ ,  $R^{15}$ ,  $R^{17}$  and  $R^{18}$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted  $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5C(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ ; and within  $R^3$  and  $R^4$  any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro,  $-NR^{12}R^{12}$ ,  $-NR^{12}C(O)R^{12}$ ,  $-NR^{12}C(O)OR^{12}$ ,  $-NR^{12}C(O)NR^{12}R^{12}$ ,  $-NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-OR^{12}$ ,  $-SR^{12}$ ,  $-C(O)OR^{12}$ ,  $-C(O)R^{12}$ ,  $-OC(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-NR^{12}S(O)_2R^{12}$ ,  $-P(O)(OR^{12})OR^{12}$ ,  $-OP(O)(OR^{12})OR^{12}$ ,  $-NR^{12}C(O)R^{13}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ; wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as described above; with the proviso that only one bicyclic ring structure is present within  $R^3$ ,  $R^4$  or  $R^{15}$ ; ~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof.~~and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

5. (Withdrawn-currently Amended) A compound of Claim 1 or Claim 2 in which:

$X^1$  is  $-NHC(R^1)(R^2)X^3$  or  $-NHCH(R^{19})C(O)R^{20}$ ;

$X^2$  is hydrogen, fluoro,  $-OH$ ,  $-OR^4$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

X<sup>3</sup> is cyano;

wherein within X<sup>3</sup> any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup> and -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup> is a bond or (C<sub>1-6</sub>)alkylene; R<sup>12</sup> at each occurrence independently is hydrogen, (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; R<sup>13</sup> is (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; and R<sup>14</sup> is (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl;

R<sup>1</sup> is hydrogen or (C<sub>1-6</sub>)alkyl and R<sup>2</sup> is selected from a group consisting of hydrogen, cyano, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as defined above; or R<sup>1</sup> and R<sup>2</sup> taken together with the carbon atom to which both R<sup>1</sup> and R<sup>2</sup> are attached form (C<sub>3-8</sub>)cycloalkylene or (C<sub>3-8</sub>)heterocycloalkylene; wherein within said R<sup>2</sup> any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>,

$-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$  and  $-X^5C(O)R^{13}$ , wherein  $X^5$ ,  $R^{12}$  and  $R^{13}$  are as defined above;

$R^3$  is  $(C_{1-6})$ alkyl or  $-C(R^6)(R^6)X^6$ , wherein  $R^6$  is hydrogen or  $(C_{1-6})$ alkyl and  $X^6$  is selected from  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5C(O)R^{13}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$  wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above;

$R^4$  is selected from  $-X^8NR^{12}R^{12}$ ,  $-X^8NR^{12}C(O)R^{12}$ ,  $-X^8NR^{12}C(O)OR^{12}$ ,  $-X^8NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^8NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^8OR^{12}$ ,  $-X^8SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^8OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^8S(O)_2NR^{12}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{12}$ ,  $-X^8P(O)(OR^{12})OR^{12}$ ,  $-X^8OP(O)(OR^{12})OR^{12}$ ,  $-X^5C(O)R^{13}$ ,  $-X^8NR^{12}C(O)R^{13}$ ,  $-X^8S(O)R^{13}$ ,  $-X^8S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^8OR^{14}$ ,  $-X^8SR^{14}$ ,  $-X^8S(O)R^{14}$ ,  $-X^8S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^8OC(O)R^{14}$ ,  $-X^8NR^{14}R^{12}$ ,  $-X^8NR^{12}C(O)R^{14}$ ,  $-X^8NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^8S(O)_2NR^{14}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{14}$ ,  $-X^8NR^{12}C(O)NR^{14}R^{12}$  and  $-X^8NR^{12}C(NR^{12})NR^{14}R^{12}$  wherein  $X^8$  is  $(C_{1-6})$ alkylene and  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above, with the proviso that when  $X^3$  is cyano and  $X^2$  is  $-OR^4$ , where  $R^4$  is defined as  $-R^{14}$ , then  $R^{14}$  is  $(C_{3-10})$ cycloalkyl $(C_{1-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{1-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{1-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{1-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{1-6})$ alkyl or hetero $(C_{8-10})$ bicycloaryl $(C_{1-6})$ alkyl;

$R^{15}$  is  $(C_{6-10})$ aryl, hetero $(C_{5-10})$ aryl,  $(C_{9-10})$ bicycloaryl or hetero $(C_{8-10})$ bicycloaryl;

$R^{17}$  is  $(C_{1-6})$ alkyl,  $(C_{3-10})$ cycloalkyl $(C_{1-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{1-6})$ alkyl,  $(C_{6-10})$ aryl $(C_{1-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{1-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{1-6})$ alkyl or hetero $(C_{8-10})$ bicycloaryl $(C_{1-6})$ alkyl;

$R^{18}$  is  $(C_{1-6})$ alkyl,  $(C_{3-10})$ cycloalkyl $(C_{1-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{1-6})$ alkyl,  $(C_{6-10})$ aryl $(C_{1-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{1-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{1-6})$ alkyl or hetero $(C_{8-10})$ bicycloaryl $(C_{1-6})$ alkyl; and

$R^{19}$  and  $R^{20}$  together with the atoms to which  $R^{19}$  and  $R^{20}$  are attached form  $(C_{4-8})$ heterocycloalkylene, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from  $-NR^{21}-$  or  $-O-$ , wherein the ring is unsubstituted or substituted with  $R^2$ , wherein  $R^2$  is as defined above, and  $R^{21}$  is hydrogen,  $-C(O)OR^{12}$ ,  $-C(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ,  $-S(O)R^{14}$ ,  $-S(O)_2R^{14}$ ,  $-C(O)R^{14}$ ,  $-C(O)OR^{14}$ ,  $-C(O)NR^{12}R^{12}$  and  $-S(O)_2NR^{14}R^{12}$ , wherein  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above; wherein within  $R^3$ ,  $R^4$ ,  $R^{15}$ ,  $R^{17}$  and  $R^{18}$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5C(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ ; and within  $R^3$  and  $R^4$  any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro,  $-NR^{12}R^{12}$ ,  $-NR^{12}C(O)R^{12}$ ,  $-NR^{12}C(O)OR^{12}$ ,  $-NR^{12}C(O)NR^{12}R^{12}$ ,  $-NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-OR^{12}$ ,  $-SR^{12}$ ,  $-C(O)OR^{12}$ ,  $-C(O)R^{12}$ ,  $-OC(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-NR^{12}S(O)_2R^{12}$ ,  $-P(O)(OR^{12})OR^{12}$ ,  $-OP(O)(OR^{12})OR^{12}$ ,  $-NR^{12}C(O)R^{13}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ; wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as described above, with the proviso that when  $X^2$  is  $-OR^4$ , where  $R^4$  is defined as  $-R^{14}$ , or  $-NHR^{18}$ , then any aromatic ring system present within  $R^{14}$  or  $R^{18}$  is not substituted further by halo,  $(C_{3-10})$ cycloalkyl, hetero $(C_{3-10})$ cycloalkyl,  $(C_{6-10})$ aryl, hetero $(C_{5-10})$ aryl,  $(C_{9-10})$ bicycloaryl or hetero $(C_{8-10})$ bicycloaryl; with the proviso that only one bicyclic ring structure is present within  $R^3$ ,  $R^4$  or  $R^{15}$ ; ~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof.~~and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

6. (Currently Amended) A compound of Claim 1 or 2 in which:

X<sup>1</sup> is -NHC(R<sup>1</sup>)(R<sup>2</sup>)X<sup>3</sup> or -NHCH(R<sup>19</sup>)C(O)R<sup>20</sup>;

X<sup>2</sup> is -OH, -OC(O)NR<sup>12</sup>R<sup>12</sup> or -OC(O)R<sup>14</sup>, wherein R<sup>12</sup> and R<sup>14</sup> are as defined below;

X<sup>3</sup> is cyano, -C(R<sup>7</sup>)(R<sup>8</sup>)R<sup>16</sup>, -C(R<sup>6</sup>)(OR<sup>6</sup>)<sub>2</sub>, -CH<sub>2</sub>C(O)R<sup>16</sup>, -CH=CHS(O)<sub>2</sub>R<sup>5</sup>,

-C(O)CF<sub>2</sub>C(O)NR<sup>5</sup>R<sup>5</sup>, -C(O)C(O)NR<sup>5</sup>R<sup>6</sup>, -C(O)C(O)OR<sup>5</sup>, -C(O)CH<sub>2</sub>OR<sup>5</sup>,

-C(O)CH<sub>2</sub>N(R<sup>6</sup>)SO<sub>2</sub>R<sup>5</sup> or -C(O)C(O)R<sup>5</sup>; wherein R<sup>5</sup> is hydrogen, (C<sub>1-4</sub>)alkyl,

(C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl,

hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl;

R<sup>6</sup> is hydrogen, hydroxy or (C<sub>1-6</sub>)alkyl; or where X<sup>3</sup> contains an -NR<sup>5</sup>R<sup>6</sup> group, R<sup>5</sup> and R<sup>6</sup>

together with the nitrogen atom to which they are both attached, form hetero(C<sub>3-10</sub>)cycloalkyl,

hetero(C<sub>5-10</sub>)aryl or hetero(C<sub>8-10</sub>)bicycloaryl; R<sup>7</sup> is hydrogen or (C<sub>1-4</sub>)alkyl and R<sup>8</sup> is hydroxy or

R<sup>7</sup> and R<sup>8</sup> together form oxo; R<sup>16</sup> is hydrogen, -X<sup>4</sup>, -CF<sub>3</sub>, -CF<sub>2</sub>CF<sub>2</sub>R<sup>9</sup> or -N(R<sup>6</sup>)OR<sup>6</sup>; R<sup>9</sup> is

hydrogen, halo, (C<sub>1-4</sub>)alkyl, (C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl or (C<sub>5-10</sub>)heteroaryl(C<sub>0-6</sub>)alkyl;

X<sup>4</sup> ~~is~~ comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused

heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof;

wherein within R<sup>5</sup>, X<sup>3</sup> or X<sup>4</sup> any alicyclic or aromatic ring system is unsubstituted or substituted

further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo,

halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>,

-X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>,

-X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>,

-X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup> and -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>

and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>,

-X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>,

-X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and

-X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup> is a bond or (C<sub>1-6</sub>)alkylene; R<sup>12</sup> at each occurrence

independently is hydrogen, (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; R<sup>13</sup> is (C<sub>1-6</sub>)alkyl or

halo-substituted(C<sub>1-6</sub>)alkyl; and R<sup>14</sup> is (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl,

hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl,

(C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl;



$R^1$  is hydrogen or  $(C_{1-6})$ alkyl and  $R^2$  is selected from a group consisting of hydrogen, cyano,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-R^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above; or  $R^1$  and  $R^2$  taken together with the carbon atom to which both  $R^1$  and  $R^2$  are attached form  $(C_{3-8})$ cycloalkylene or  $(C_{3-8})$ heterocycloalkylene; wherein within said  $R^2$  any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted  $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$  and  $-X^5C(O)R^{13}$ , wherein  $X^5$ ,  $R^{12}$  and  $R^{13}$  are as defined above;

$R^3$  is  $(C_{1-6})$ alkyl or  $-C(R^6)(R^6)X^6$ , wherein  $R^6$  is hydrogen or  $(C_{1-6})$ alkyl and  $X^6$  is selected from  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$  wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above; and

$R^{19}$  and  $R^{20}$  together with the atoms to which  $R^{19}$  and  $R^{20}$  are attached form  $(C_{4-8})$ heterocycloalkylene, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from  $-NR^{21}$ - or  $-O-$ , wherein and the ring is unsubstituted or substituted with  $R^2$ , wherein  $R^2$  is as defined above, and  $R^{21}$  is hydrogen,  $-C(O)OR^{12}$ ,  $-C(O)R^{12}$ ,

$-\text{C}(\text{O})\text{NR}^{12}\text{R}^{12}$ ,  $-\text{S}(\text{O})_2\text{NR}^{12}\text{R}^{12}$ ,  $-\text{S}(\text{O})\text{R}^{13}$  and  $-\text{S}(\text{O})_2\text{R}^{13}$ ,  $-\text{S}(\text{O})\text{R}^{14}$ ,  $-\text{S}(\text{O})_2\text{R}^{14}$ ,  $-\text{C}(\text{O})\text{R}^{14}$ ,  $-\text{C}(\text{O})\text{OR}^{14}$ ,  $-\text{C}(\text{O})\text{NR}^{12}\text{R}^{12}$  and  $-\text{S}(\text{O})_2\text{NR}^{14}\text{R}^{12}$ , wherein  $\text{R}^{12}$ ,  $\text{R}^{13}$  and  $\text{R}^{14}$  are as defined above; wherein within  $\text{R}^3$ ,  $\text{R}^4$ ,  $\text{R}^{15}$ ,  $\text{R}^{17}$  and  $\text{R}^{18}$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(\text{C}_{1-6})\text{alkyl}$ ,  $(\text{C}_{1-6})\text{alkylidene}$ , cyano, halo, halo-substituted  $(\text{C}_{1-4})\text{alkyl}$ , nitro,  $-\text{X}^5\text{NR}^{12}\text{R}^{12}$ ,  $-\text{X}^5\text{NR}^{12}\text{C}(\text{O})\text{R}^{12}$ ,  $-\text{X}^5\text{NR}^{12}\text{C}(\text{O})\text{OR}^{12}$ ,  $-\text{X}^5\text{NR}^{12}\text{C}(\text{O})\text{NR}^{12}\text{R}^{12}$ ,  $-\text{X}^5\text{NR}^{12}\text{C}(\text{NR}^{12})\text{NR}^{12}\text{R}^{12}$ ,  $-\text{X}^5\text{OR}^{12}$ ,  $-\text{X}^5\text{SR}^{12}$ ,  $-\text{X}^5\text{C}(\text{O})\text{OR}^{12}$ ,  $-\text{X}^5\text{C}(\text{O})\text{R}^{12}$ ,  $-\text{X}^5\text{OC}(\text{O})\text{R}^{12}$ ,  $-\text{X}^5\text{C}(\text{O})\text{NR}^{12}\text{R}^{12}$ ,  $-\text{X}^5\text{S}(\text{O})_2\text{NR}^{12}\text{R}^{12}$ ,  $-\text{X}^5\text{NR}^{12}\text{S}(\text{O})_2\text{R}^{12}$ ,  $-\text{X}^5\text{P}(\text{O})(\text{OR}^{12})\text{OR}^{12}$ ,  $-\text{X}^5\text{OP}(\text{O})(\text{OR}^{12})\text{OR}^{12}$ ,  $-\text{X}^5\text{NR}^{12}\text{C}(\text{O})\text{R}^{13}$ ,  $-\text{X}^5\text{S}(\text{O})\text{R}^{13}$ ,  $-\text{X}^5\text{C}(\text{O})\text{R}^{13}$  and  $-\text{X}^5\text{S}(\text{O})_2\text{R}^{13}$  and/or 1 radical selected from  $-\text{R}^{14}$ ,  $-\text{X}^5\text{OR}^{14}$ ,  $-\text{X}^5\text{SR}^{14}$ ,  $-\text{X}^5\text{S}(\text{O})\text{R}^{14}$ ,  $-\text{X}^5\text{S}(\text{O})_2\text{R}^{14}$ ,  $-\text{X}^5\text{C}(\text{O})\text{R}^{14}$ ,  $-\text{X}^5\text{C}(\text{O})\text{OR}^{14}$ ,  $-\text{X}^5\text{OC}(\text{O})\text{R}^{14}$ ,  $-\text{X}^5\text{NR}^{14}\text{R}^{12}$ ,  $-\text{X}^5\text{NR}^{12}\text{C}(\text{O})\text{R}^{14}$ ,  $-\text{X}^5\text{NR}^{12}\text{C}(\text{O})\text{OR}^{14}$ ,  $-\text{X}^5\text{C}(\text{O})\text{NR}^{14}\text{R}^{12}$ ,  $-\text{X}^5\text{S}(\text{O})_2\text{NR}^{14}\text{R}^{12}$ ,  $-\text{X}^5\text{NR}^{12}\text{S}(\text{O})_2\text{R}^{14}$ ,  $-\text{X}^5\text{NR}^{12}\text{C}(\text{O})\text{NR}^{14}\text{R}^{12}$  and  $-\text{X}^5\text{NR}^{12}\text{C}(\text{NR}^{12})\text{NR}^{14}\text{R}^{12}$ ; and within  $\text{R}^3$  and  $\text{R}^4$  any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro,  $-\text{NR}^{12}\text{R}^{12}$ ,  $-\text{NR}^{12}\text{C}(\text{O})\text{R}^{12}$ ,  $-\text{NR}^{12}\text{C}(\text{O})\text{OR}^{12}$ ,  $-\text{NR}^{12}\text{C}(\text{O})\text{NR}^{12}\text{R}^{12}$ ,  $-\text{NR}^{12}\text{C}(\text{NR}^{12})\text{NR}^{12}\text{R}^{12}$ ,  $-\text{OR}^{12}$ ,  $-\text{SR}^{12}$ ,  $-\text{C}(\text{O})\text{OR}^{12}$ ,  $-\text{C}(\text{O})\text{R}^{12}$ ,  $-\text{OC}(\text{O})\text{R}^{12}$ ,  $-\text{C}(\text{O})\text{NR}^{12}\text{R}^{12}$ ,  $-\text{S}(\text{O})_2\text{NR}^{12}\text{R}^{12}$ ,  $-\text{NR}^{12}\text{S}(\text{O})_2\text{R}^{12}$ ,  $-\text{P}(\text{O})(\text{OR}^{12})\text{OR}^{12}$ ,  $-\text{OP}(\text{O})(\text{OR}^{12})\text{OR}^{12}$ ,  $-\text{NR}^{12}\text{C}(\text{O})\text{R}^{13}$ ,  $-\text{S}(\text{O})\text{R}^{13}$  and  $-\text{S}(\text{O})_2\text{R}^{13}$ ; wherein  $\text{X}^5$ ,  $\text{R}^{12}$ ,  $\text{R}^{13}$  and  $\text{R}^{14}$  are as described above; with the proviso that only one bicyclic ring structure is present within  $\text{R}^3$ ,  $\text{R}^4$  or  $\text{R}^{15}$ ; ~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof. ~~and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

7. (Withdrawn-currently Amended) The compound of Claim 1 or Claim 2 in which:

$\text{X}^1$  is  $-\text{NHC}(\text{R}^1)(\text{R}^2)\text{C}(\text{O})\text{C}(\text{O})\text{NR}^5\text{R}^6$ , wherein  $\text{R}^5$  is hydrogen,  $(\text{C}_{1-4})\text{alkyl}$ ,  $(\text{C}_{3-10})\text{cycloalkyl}$ ,  $(\text{C}_{0-6})\text{alkyl}$ , hetero  $(\text{C}_{3-10})\text{cycloalkyl}$ ,  $(\text{C}_{0-3})\text{alkyl}$ ,  $(\text{C}_{6-10})\text{aryl}$ ,  $(\text{C}_{0-6})\text{alkyl}$ , hetero  $(\text{C}_{5-10})\text{aryl}$ ,  $(\text{C}_{0-6})\text{alkyl}$ ,  $(\text{C}_{9-10})\text{bicycloaryl}$ ,  $(\text{C}_{0-6})\text{alkyl}$  or hetero  $(\text{C}_{8-10})\text{bicycloaryl}$ ,  $(\text{C}_{0-6})\text{alkyl}$  and  $\text{R}^6$  is hydrogen, hydroxy or  $(\text{C}_{1-6})\text{alkyl}$  or  $\text{R}^5$  and  $\text{R}^6$  together with the nitrogen atom to which they are both attached form hetero  $(\text{C}_{3-10})\text{cycloalkyl}$ , hetero  $(\text{C}_{5-10})\text{aryl}$  or hetero  $(\text{C}_{8-10})\text{bicycloaryl}$ ;

X<sup>2</sup> is hydrogen;

wherein within X<sup>1</sup> any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup> and -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup> is a bond or (C<sub>1-6</sub>)alkylene; R<sup>12</sup> at each occurrence independently is hydrogen, (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; R<sup>13</sup> is (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; and R<sup>14</sup> is (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl;

R<sup>1</sup> is hydrogen and R<sup>2</sup> is (C<sub>1-6</sub>)alkyl; and

R<sup>3</sup> is -CH<sub>2</sub>X<sup>6</sup>, wherein X<sup>6</sup> is -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup> or -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup> wherein X<sup>5</sup>, R<sup>12</sup> and R<sup>14</sup> are as defined above;

wherein within R<sup>3</sup> any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>C(O)R<sup>13</sup> and -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and within R<sup>3</sup> any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro, -NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>C(O)R<sup>12</sup>, -NR<sup>12</sup>C(O)OR<sup>12</sup>, -NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -OR<sup>12</sup>, -SR<sup>12</sup>, -C(O)OR<sup>12</sup>, -C(O)R<sup>12</sup>, -OC(O)R<sup>12</sup>, -C(O)NR<sup>12</sup>R<sup>12</sup>, -S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -NR<sup>12</sup>C(O)R<sup>13</sup>, -S(O)R<sup>13</sup> and -S(O)<sub>2</sub>R<sup>13</sup>; wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as described above; with the proviso that only one bicyclic ring structure is present within R<sup>3</sup>;

~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof, and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

8. (Currently Amended) The compound of Claim 3 in which:

$X^1$  is  $-NHC(R^1)(R^2)X^3$  or  $-NHCH(R^{19})C(O)R^{20}$ , wherein  $R^1$  is hydrogen or  $(C_{1-6})$ alkyl and  $R^2$  is hydrogen,  $(C_{1-6})$ alkyl,  $-X^5OR^{12}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5OR^{14}$ ,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl or hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl or  $R^1$  and  $R^2$  taken together with the carbon atom to which both  $R^1$  and  $R^2$  are attached form  $(C_{3-6})$ cycloalkylene or  $(C_{3-6})$ heterocycloalkylene, wherein within said  $R^2$  any heteroaryl, aryl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with  $(C_{1-6})$ alkyl or hydroxy, wherein  $X^3$  is cyano,  $-C(O)R^{16}$ ,  $-C(R^6)(OR^6)_2$ ,  $-CH=CHS(O)_2R^5$ ,  $-CH_2C(O)R^{16}$ ,  $-C(O)CF_2C(O)NR^5R^5$ ,  $-C(O)C(O)NR^5R^6$ ,  $-C(O)C(O)OR^5$ ,  $-C(O)CH_2OR^5$ ,  $-C(O)CH_2N(R^6)SO_2R^5$  or  $-C(O)C(O)R^5$  and  $R^{19}$  and  $R^{20}$  together with the atoms to which  $R^{19}$  and  $R^{20}$  are attached form  $(C_{4-8})$ heterocycloalkylene, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from  $-NR^{21}-$  or  $-O-$ , wherein the ring is unsubstituted or substituted with  $(C_{1-6})$ alkyl or  $-X^5C(O)OR^{12}$  and  $R^{21}$  is hydrogen,  $(C_{1-6})$ alkyl,  $-X^5C(O)R^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-R^{14}$ ,  $-X^5C(O)R^{14}$  or  $-C(O)OR^{14}$ ;

$X^2$  is  $-OH$  or  $-OC(O)NR^{12}R^{12}$ , wherein each  $R^{12}$  independently represent hydrogen or  $(C_{1-6})$ alkyl, wherein said alkyl is unsubstituted or substituted with hydroxy or methoxy, or  $X^2$  is  $-OC(O)NHR^{14}$ , wherein  $R^{14}$  is  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl or hetero $(C_{3-10})$ cycloalkyl $(C_{1-3})$ alkyl, or  $X^2$  is  $-OC(O)R^{14}$ , wherein  $R^{14}$  is  $-NR^{22}R^{23}$  and  $R^{22}$  and  $R^{23}$  together with the nitrogen atom to which both  $R^{22}$  and  $R^{23}$  attached form a hetero $(C_{4-6})$ cycloalkyl ring, which ring may be unsubstituted or substituted with hydroxy; and

$R^3$  is  $-CH_2X^6$ ; wherein  $X^6$  is selected from  $-X^5SR^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2R^{13}$ ,  $-X^5C(O)R^{13}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{14}$ ,  $-X^5R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ; ~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and~~

~~mixtures of stereoisomers thereof, and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

9. (Currently Amended) The compound of Claim 8 in which:

X<sup>3</sup> is cyano, -C(O)X<sup>4</sup>, -C(O)H, -C(O)N(CH<sub>3</sub>)OCH<sub>3</sub>, -CH(OCH<sub>3</sub>)<sub>2</sub>, -C(O)CF<sub>3</sub>, -C(O)CF<sub>2</sub>CF<sub>3</sub>, -CH<sub>2</sub>C(O)R<sup>16</sup>, (E)-2-benzenesulfonyl-vinyl, 2-dimethylcarbamoyl-2,2-difluoro-acetyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1 $\lambda$ <sup>6</sup>-thiomorpholin-4-yl)-2-oxo-acetyl, dimethylaminooxalyl, tetrahydro-pyran-4-ylaminooxalyl, 2-morpholin-4-yl-ethylaminooxalyl, cyclopentyl-ethyl-aminooxalyl, pyridin-3-ylaminooxalyl, phenylaminooxalyl, 1-benzoyl-piperidin-4-ylaminooxalyl, 1-benzylcarbamoyl-methanoyl, 1-benzyloxy(oxalyl), 2-benzyloxy-acetyl, 2-benzenesulfonylamino-ethanoyl, 2-oxo-2-phenyl-ethanoyl, 3*H*-oxazole-2-carbonyl, 5-trifluoromethyl-oxazole-2-carbonyl, 3-trifluoromethyl-[1,2,4]oxadiazole-5-carbonyl, 2,2,3,3,3-pentafluoro-propionyl, hydroxyaminooxalyl, oxalyl, 2-(1,3-dihydro-isoindol-2-yl)-2-oxo-acetyl, benzothiazol-2-ylaminooxalyl, 2-oxo-ethyl, 2-oxazol-2-yl-2-oxo-ethyl or 2-benzooxazol-2-yl-2-oxo-ethyl;

X<sup>2</sup> is selected from -OH, dimethylcarbamoyloxy, morpholin-4-ylcarbonyloxy, piperidin-1-yl-carbonyloxy, pyrrolidin-1-yl-carbonyloxy, pyrimidin-2-ylamino, tetrahydro-pyran-4-ylamino, 1-methyl-piperidin-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-pyran-4-yl)amino, isopropylamino and cyclohexylamino; 4-*tert*-butoxycarbonylpiperazin-1-ylcarbonyloxy, *N*-benzyl-carbamoyloxy, pyrrolidin-1-yl-carbonyloxy, *N,N*-dimethyl-carbamoyloxy, piperidin-1-yl-carbonyloxy, 4-methanesulfonyl-piperazin-1-yl-carbonyloxy, 4-ethoxycarbonylpiperazin-1-ylcarbonyloxy, *N*-cyclohexyl-carbamoyloxy, *N*-phenyl-carbamoyloxy, *N*-(5,6,7,8-tetrahydro-naphthalen-1-yl)-carbamoyloxy, *N*-butyl-*N*-methyl-carbamoyloxy, *N*-pyridin-3-yl-carbamoyloxy, *N*-isopropyl-carbamoyloxy, *N*-pyridin-4-yl-carbamoyloxy, *N*-cyanomethyl-*N*-methyl-carbamoyloxy, *N,N*-bis-(2-methoxy-ethyl)-carbamoyloxy, *N*-phenethyl-carbamoyloxy, piperazine- carbonyloxy, *N*-naphthalen-2-yl-carbamoyloxy, 4-benzyl-piperazine-1-carbamoyloxy, 4-(1-furan-2-yl-carbonyl)-piperazine-1-carbamoyloxy, thiomorpholin-4-yl- carbonyloxy, 1,1-dioxo-1 $\lambda$ <sup>6</sup>-thiomorpholin-4-yl)-carbonyloxy, bis-(2-methoxy-ethyl)-carbamoyloxy, morpholin-4-ylcarbonyloxy,

2-methoxyethylcarbamoyloxy, diethylcarbamoyloxy, pyrrolidin-1-ylcarbonyloxy, 2-hydroxyethylcarbamoyloxy, tetrahydro-furan-2-ylmethylcarbamoyloxy, cyclopropylcarbamoyloxy, *tert*-butylcarbamoyloxy, 3-hydroxy-pyrrolidin-1-yl-carbonyloxy and carbamoyloxy; and

R<sup>3</sup> is thiophene-2-sulfonyl-methyl, 3-chloro-2-fluoro-phenyl-methane-sulfonyl-methyl, benzene-sulfonyl-methyl, phenyl-methane-sulfonyl-methyl, 2-(1,1-difluoro-methoxy)-phenyl-methane-sulfonyl-methyl, 2-benzene-sulfonyl-ethyl, 2-(pyridine-2-sulfonyl)-ethyl, 2-(pyridine-4-sulfonyl)-ethyl, 2-phenyl-methanesulfonyl-ethyl, oxy-pyridin-2-yl-methane-sulfonyl-methyl, prop-2-ene-1-sulfonyl-methyl, 4-methoxy-phenyl-methane-sulfonyl-methyl, *p*-tolyl-methane-sulfonyl-methyl, 4-chloro-phenyl-methane-sulfonyl-methyl, *o*-tolyl-methane-sulfonyl-methyl, 3,5-dimethyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl, 2-bromo-phenyl-methane-sulfonyl-methyl, pyridin-2-yl-methane-sulfonyl-methyl, pyridin-3-yl-methane-sulfonyl-methyl, pyridin-4-yl-methane-sulfonyl-methyl, naphthalen-2-yl-methane-sulfonyl-methyl, 3-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl, 4-fluoro-2-trifluoromethoxy-phenyl-methane-sulfonylmethyl, 2-fluoro-6-trifluoromethyl-phenylmethanesulfonylmethyl, 3-chloro-phenylmethanesulfonylmethyl, 2-fluoro-phenylmethanesulfonylmethyl, 2-trifluoro-phenylmethanesulfonylmethyl, 2-cyano-phenylmethanesulfonylmethyl, 4-*tert*-butyl-phenylmethanesulfonylmethyl, 2-fluoro-3-methyl-phenyl-methane-sulfonyl-methyl, 3-fluoro-phenylmethanesulfonylmethyl, 4-fluoro-phenylmethane-sulfonylmethyl, 2-chloro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenylmethane-sulfonylmethyl, 2,6-difluoro-phenylmethanesulfonylmethyl, 2,5-dichloro-phenyl-methane-sulfonylmethyl, 3,4-dichloro-phenylmethanesulfonylmethyl, 2-(1,1-difluoro-methoxy)-phenyl-methanesulfonylmethyl, 2-cyano-phenyl-methane-sulfonyl-methyl, 3-cyano-phenylmethanesulfonylmethyl, 2-trifluoro-methoxy-phenyl-methane-sulfonylmethyl, 2,3-difluoro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenyl-methanesulfonylmethyl, biphenyl-2-ylmethanesulfonylmethyl, cyclohexylmethyl, 3-fluoro-phenyl-methanesulfonylmethyl, 3,4-difluoro-phenyl-methanesulfonylmethyl, 2,4-difluoro-phenylmethanesulfonylmethyl, 2,4,6-trifluoro-phenylmethanesulfonylmethyl,

2,4,5-trifluoro-phenylmethanesulfonylmethyl, 2,3,4-trifluoro-phenylmethanesulfonylmethyl, 2,3,5-trifluoro-phenyl-methane-sulfonylmethyl, 2,5,6-trifluoro-phenylmethanesulfonylmethyl, 2-chloro-5-trifluoro-methylphenylmethanesulfonylmethyl, 2-methyl-propane-1-sulfonyl, 2-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-fluoro-4-trifluoro-methylphenylmethanesulfonylmethyl, 2-fluoro-5-trifluoro-methyl-phenyl-methane-sulfonylmethyl, 4-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-methoxy-phenylmethanesulfonylmethyl, 3,5-bis-trifluoromethyl-phenylmethanesulfonylmethyl, 4-difluoromethoxy-phenylmethanesulfonylmethyl, 2-difluoro-methoxy-phenylmethanesulfonylmethyl, 3-difluoromethoxy-phenylmethanesulfonylmethyl, 2,6-dichloro-phenylmethanesulfonylmethyl, biphenyl-4-ylmethanesulfonylmethyl, 3,5-dimethyl-isoxazol-4-ylmethanesulfonylmethyl, 5-chloro-thien-2-yl-methane-sulfonylmethyl, 2-[4-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[2-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[3-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(2-trifluoro-methoxy-benzene-sulfonyl)-ethyl, (cyanomethyl-methyl-carbamoyl)-methyl, biphenyl-3-ylmethyl, 2-oxo-2-pyrrolidin-1-yl-ethyl, 2-benzenesulfonyl-ethyl, isobutylsulfanylmethyl, 2-phenylsulfanyl-ethyl, cyclohexylmethanesulfonylmethyl, 2-cyclohexyl-ethanesulfonyl, benzyl, naphthalen-2-yl, benzylsulfanylmethyl, 2-trifluoromethyl-benzylsulfanylmethyl, phenylsulfanyl-ethyl, cyclopropyl-methanesulfonylmethyl, 5-bromo-thien-2-ylmethyl, 3-phenyl-propyl, 2,2-difluoro-3-phenyl-propyl, 3,4,5-trimethoxy-phenylmethanesulfonylmethyl, 2,2-difluoro-3-thien-2-yl-propyl, cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl, 1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl, 1-benzylcyclopropylmethyl,  $-X^5S(O)_2R^{13}$  and  $-X^5S(O)_2R^{14}$ , wherein  $R^{13}$  is alkyl and  $R^{14}$  is phenyl which phenyl is unsubstituted or substituted; ~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof and the ~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

10. (Currently Amended) A compound of Claim 9 in which:

X<sup>3</sup> is 1*H*-benzoimidazol-2-ylcarbonyl, pyrimidin-2-ylcarbonyl, benzooxazol-2-ylcarbonyl, benzothiazol-2-ylcarbonyl, pyridazin-3-ylcarbonyl, 3-phenyl-[1,2,4]oxadiazol-5-ylcarbonyl or 3-ethyl-[1,2,4]oxadiazol-5-ylcarbonyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1-thiomorpholin-4-yl)-2-oxo-acetyl, dimethylaminooxalyl, tetrahydro-pyran-4-ylaminooxalyl, 2-morpholin-4-yl-ethylaminooxalyl, cyclopentyl-ethyl-aminooxalyl, pyridin-3-ylaminooxalyl, phenylaminooxalyl or 1-benzoyl-piperidin-4-ylaminooxalyl;

X<sup>2</sup> is selected from -OH, dimethylcarbamyloxy, morpholin-4-ylcarbonyloxy, piperidin-1-yl-carbonyloxy, pyrrolidin-1-yl-carbonyloxy, pyrimidin-2-ylamino, tetrahydro-pyran-4-ylamino, 1-methyl-piperidin-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-pyran-4-yl)amino, isopropylamino and cyclohexylamino;

R<sup>3</sup> is cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl, 1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl, 1-benzylcyclopropylmethyl, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> or -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, wherein R<sup>13</sup> is alkyl and R<sup>14</sup> is phenyl which phenyl is unsubstituted or substituted; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof, ~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

11. (Withdrawn-currently Amended) The compound of Claim 3 in which:

X<sup>1</sup> is -NHC(R<sup>1</sup>)(R<sup>2</sup>)X<sup>3</sup> or -NHCH(R<sup>19</sup>)C(O)R<sup>20</sup>, wherein R<sup>1</sup> is hydrogen or (C<sub>1-6</sub>)alkyl and R<sup>2</sup> is hydrogen, (C<sub>1-6</sub>)alkyl, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>OR<sup>14</sup>, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl or R<sup>1</sup> and R<sup>2</sup> taken together with the carbon atom to which both R<sup>1</sup> and R<sup>2</sup> are attached form (C<sub>3-6</sub>)cycloalkylene or (C<sub>3-6</sub>)heterocycloalkylene, wherein within said R<sup>2</sup> any heteroaryl, aryl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with (C<sub>1-6</sub>)alkyl or hydroxy, wherein X<sup>3</sup> is cyano, -C(O)R<sup>16</sup>, -C(R<sup>6</sup>)(OR<sup>6</sup>)<sub>2</sub>, -CH=CHS(O)<sub>2</sub>R<sup>5</sup>, -CH<sub>2</sub>C(O)R<sup>16</sup>, -C(O)CF<sub>2</sub>C(O)NR<sup>5</sup>R<sup>5</sup>, -C(O)C(O)NR<sup>5</sup>R<sup>6</sup>, -C(O)C(O)OR<sup>5</sup>, -C(O)CH<sub>2</sub>OR<sup>5</sup>, -C(O)CH<sub>2</sub>N(R<sup>6</sup>)SO<sub>2</sub>R<sup>5</sup> or -C(O)C(O)R<sup>5</sup> and R<sup>19</sup> and R<sup>20</sup> together with the atoms to which R<sup>19</sup>



and R<sup>20</sup> are attached form (C<sub>4-8</sub>)heterocycloalkylene, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from -NR<sup>21</sup>- or -O-, wherein the ring is unsubstituted or substituted with (C<sub>1-6</sub>)alkyl or -X<sup>5</sup>C(O)OR<sup>12</sup> and R<sup>21</sup> is hydrogen, (C<sub>1-6</sub>)alkyl, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup> or -C(O)OR<sup>14</sup>;

X<sup>2</sup> is -NHR<sup>15</sup>, wherein R<sup>15</sup> is (C<sub>6-10</sub>)aryl, hetero(C<sub>5-10</sub>)aryl, (C<sub>9-10</sub>)bicycloaryl or hetero(C<sub>8-10</sub>)bicycloaryl, or -NR<sup>17</sup>R<sup>18</sup>, wherein R<sup>17</sup> is hetero(C<sub>3-10</sub>)cycloalkyl and R<sup>18</sup> is hydrogen or R<sup>17</sup> and R<sup>18</sup> independently are (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl or hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, wherein within R<sup>15</sup>, R<sup>17</sup> and R<sup>18</sup> any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, cyano, halo, nitro, halo-substituted(C<sub>1-4</sub>)alkyl, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup> and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup> and -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>; and R<sup>3</sup> is -CH<sub>2</sub>X<sup>6</sup>; wherein X<sup>6</sup> is selected from -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>; ~~N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof.

12. (Withdrawn-currently Amended) The compound of Claim 11 in which:

X<sup>3</sup> is cyano, -C(O)X<sup>4</sup>, -C(O)H, -C(O)N(CH<sub>3</sub>)OCH<sub>3</sub>, -CH(OCH<sub>3</sub>)<sub>2</sub>, -C(O)CF<sub>3</sub>, -C(O)CF<sub>2</sub>CF<sub>3</sub>, -CH<sub>2</sub>C(O)R<sup>16</sup>, (E)-2-benzenesulfonyl-vinyl, 2-dimethylcarbamoyl-2,2-difluoro-acetyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1 $\square$ <sup>6</sup>-thiomorpholin-4-yl)-2-oxo-acetyl, dimethylaminooxalyl, tetrahydro-pyran-4-ylaminooxalyl, 2-morpholin-4-yl-ethylaminooxalyl, cyclopentyl-ethyl-aminooxalyl, pyridin-3-ylaminooxalyl, phenylaminooxalyl, 1-benzoyl-piperidin-4-ylaminooxalyl, 1-benzylcarbamoyl-methanoyl, 1-benzyloxy(oxalyl), 2-benzyloxy-acetyl, 2-benzenesulfonylamino-ethanoyl, 2-oxo-2-phenyl-ethanoyl, 3H-oxazole-2-carbonyl, 5-trifluoromethyl-oxazole-2-carbonyl, 3-trifluoromethyl-[1,2,4]oxadiazole-5-carbonyl, 2,2,3,3,3-pentafluoro-propionyl, hydroxyaminooxalyl, oxalyl, 2-(1,3-dihydro-isindol-2-yl)-2-

oxo-acetyl, benzothiazol-2-ylaminooxalyl, 2-oxo-ethyl, 2-oxazol-2-yl-2-oxo-ethyl or 2-benzooxazol-2-yl-2-oxo-ethyl;

X<sup>2</sup> is selected from 5-nitrothiazol-2-ylamino, 2-nitrophenylamino, pyrimidin-2-ylamino, tetrahydro-pyran-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-pyran-4-yl)amino, 1-methyl-piperidin-4-ylamino, isopropylamino, di(thien-2-ylmethyl)amino or di(benzyl)amino; and

R<sup>3</sup> is thiophene-2-sulfonyl-methyl, 3-chloro-2-fluoro-phenyl-methane-sulfonyl-methyl, benzene-sulfonyl-methyl, phenyl-methane-sulfonyl-methyl, 2-(1,1-difluoro-methoxy)-phenyl-methane-sulfonyl-methyl, 2-benzene-sulfonyl-ethyl, 2-(pyridine-2-sulfonyl)-ethyl, 2-(pyridine-4-sulfonyl)-ethyl, 2-phenyl-methanesulfonyl-ethyl, oxy-pyridin-2-yl-methane-sulfonyl-methyl, prop-2-ene-1-sulfonyl-methyl, 4-methoxy-phenyl-methane-sulfonyl-methyl, *p*-tolyl-methane-sulfonyl-methyl, 4-chloro-phenyl-methane-sulfonyl-methyl, *o*-tolyl-methane-sulfonyl-methyl, 3,5-dimethyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl, 2-bromo-phenyl-methane-sulfonyl-methyl, pyridin-2-yl-methane-sulfonyl-methyl, pyridin-3-yl-methane-sulfonyl-methyl, pyridin-4-yl-methane-sulfonyl-methyl, naphthalen-2-yl-methane-sulfonyl-methyl, 3-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl, 4-fluoro-2-trifluoromethoxy-phenyl-methane-sulfonylmethyl, 2-fluoro-6-trifluoromethyl-phenylmethanesulfonylmethyl, 3-chloro-phenylmethanesulfonylmethyl, 2-fluoro-phenylmethanesulfonylmethyl, 2-trifluoro-phenylmethanesulfonylmethyl, 2-cyano-phenylmethanesulfonylmethyl, 4-*tert*-butyl-phenylmethanesulfonylmethyl, 2-fluoro-3-methyl-phenyl-methane-sulfonyl-methyl, 3-fluoro-phenylmethanesulfonylmethyl, 4-fluoro-phenylmethane-sulfonylmethyl, 2-chloro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenylmethane-sulfonylmethyl, 2,6-difluoro-phenylmethanesulfonylmethyl, 2,5-dichloro-phenyl-methane-sulfonylmethyl, 3,4-dichloro-phenylmethanesulfonylmethyl, 2-(1,1-difluoro-methoxy)-phenyl-methanesulfonylmethyl, 2-cyano-phenyl-methane-sulfonyl-methyl, 3-cyano-phenylmethanesulfonylmethyl, 2-trifluoro-methoxy-phenyl-methane-sulfonylmethyl, 2,3-difluoro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenyl-methanesulfonylmethyl, biphenyl-2-ylmethanesulfonylmethyl, cyclohexylmethyl, 3-fluoro-phenyl-methanesulfonylmethyl, 3,4-difluoro-phenyl-methanesulfonylmethyl,

2,4-difluoro-phenylmethanesulfonylmethyl, 2,4,6-trifluoro-phenylmethanesulfonylmethyl, 2,4,5-trifluoro-phenylmethanesulfonylmethyl, 2,3,4-trifluoro-phenylmethanesulfonylmethyl, 2,3,5-trifluoro-phenyl-methane-sulfonylmethyl, 2,5,6-trifluoro-phenylmethanesulfonylmethyl, 2-chloro-5-trifluoro-methylphenylmethanesulfonylmethyl, 2-methyl-propane-1-sulfonyl, 2-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-fluoro-4-trifluoro-methylphenylmethanesulfonylmethyl, 2-fluoro-5-trifluoro-methyl-phenyl-methane-sulfonylmethyl, 4-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-methoxy-phenyl-methanesulfonylmethyl, 3,5-bis-trifluoromethyl-phenylmethanesulfonylmethyl, 4-difluoromethoxy-phenylmethanesulfonylmethyl, 2-difluoro-methoxy-phenyl-methanesulfonylmethyl, 3-difluoromethoxy-phenylmethanesulfonylmethyl, 2,6-dichloro-phenylmethanesulfonylmethyl, biphenyl-4-ylmethanesulfonylmethyl, 3,5-dimethyl-isoxazol-4-ylmethanesulfonylmethyl, 5-chloro-thien-2-yl-methane-sulfonylmethyl, 2-[4-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[2-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[3-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(2-trifluoro-methoxy-benzene-sulfonyl)-ethyl, (cyanomethyl-methyl-carbamoyl)-methyl, biphenyl-3-ylmethyl, 2-oxo-2-pyrrolidin-1-yl-ethyl, 2-benzenesulfonyl-ethyl, isobutylsulfanylmethyl, 2-phenylsulfanyl-ethyl, cyclohexylmethanesulfonylmethyl, 2-cyclohexyl-ethanesulfonyl, benzyl, naphthalen-2-yl, benzylsulfanylmethyl, 2-trifluoromethyl-benzylsulfanylmethyl, phenylsulfanyl-ethyl, cyclopropyl-methanesulfonylmethyl, 5-bromo-thien-2-ylmethyl, 3-phenyl-propyl, 2,2-difluoro-3-phenyl-propyl, 3,4,5-trimethoxy-phenylmethanesulfonylmethyl, 2,2-difluoro-3-thien-2-yl-propyl, cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl, 1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl, 1-benzylcyclopropylmethyl,  $-X^5S(O)_2R^{13}$  and  $-X^5S(O)_2R^{14}$ , wherein  $R^{13}$  is alkyl and  $R^{14}$  is phenyl which phenyl is unsubstituted or substituted; ~~*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof. ~~and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

13. (Withdrawn-currently Amended) A compound of Claim 12 in which:

X<sup>3</sup> is 1*H*-benzoimidazol-2-ylcarbonyl, pyrimidin-2-ylcarbonyl, benzooxazol-2-ylcarbonyl, benzothiazol-2-ylcarbonyl, pyridazin-3-ylcarbonyl, 3-phenyl-[1,2,4]oxadiazol-5-ylcarbonyl or 3-ethyl-[1,2,4]oxadiazol-5-ylcarbonyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1-thiomorpholin-4-yl)-2-oxo-acetyl, dimethylaminooxalyl, tetrahydro-pyran-4-ylaminooxalyl, 2-morpholin-4-yl-ethylaminooxalyl, cyclopentyl-ethyl-aminooxalyl, pyridin-3-ylaminooxalyl, phenylaminooxalyl or 1-benzoyl-piperidin-4-ylaminooxalyl;

X<sup>2</sup> is selected from -OH, dimethylcarbamoyloxy, morpholin-4-ylcarbonyloxy, piperidin-1-yl-carbonyloxy, pyrrolidin-1-yl-carbonyloxy, pyrimidin-2-ylamino, tetrahydro-pyran-4-ylamino, 1-methyl-piperidin-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-pyran-4-yl)amino, isopropylamino and cyclohexylamino;

R<sup>3</sup> is cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl, 1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl, 1-benzylcyclopropylmethyl, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> or -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, wherein R<sup>13</sup> is alkyl and R<sup>14</sup> is phenyl which phenyl is unsubstituted or substituted; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof, and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

14. (Currently Amended) A compound of Claim 1 selected from the group consisting of:

(*R*)-*N*-cyanomethyl-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

(*R*)-*N*-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;

(*R*)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

(*S*)-diethyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-pyrrolidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-4-Ethyl-piperazine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-2-hydroxymethyl-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2,2,2-Trifluoro-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2-hydroxyethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(Tetrahydrofuran-2-ylmethyl)-carbamic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-Azetidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-cyclopropyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-piperidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*R*)-3-hydroxy-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-3-hydroxy-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-3-cyclohexyl-propyl ester;

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;

pyrrolidine-1-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

dimethyl-carbamic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzylcarbamoyl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*S*)-1-[(*S*)-1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*S*)-1-[(*S*)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

(*S*)-2-{(*R*)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propanoylamino}-*N*-methoxy-*N*-methyl-butylamide;

(*R*)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-*N*-((*S*)-1-formyl-propyl)-2-hydroxy-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenyl-methanesulfonyl-propionamide;

(*S*)-3-{3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propanoylamino}-2-oxo-pentanoic acid benzylamide;

*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propionamide;

*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-3-phenyl-propyl]-3-*p*-tolylmethanesulfonyl-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-pyrrolidin-1-yl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-3-morpholin-4-yl-2,3-dioxo-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-piperazin-1-yl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[3-(1,1-dioxo-116-thiomorpholin-4-yl)-1-ethyl-2,3-dioxo-propyl]-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[1-ethyl-3-(4-methyl-sulfonyl-piperazin-1-yl)-2,3-dioxo-propyl]-propionamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid dimethylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid cyclopentyl-ethyl-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid phenylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid pyridin-3-ylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (tetrahydro-pyran-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (1-benzoyl-piperidin-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (2-morpholin-4-yl-ethyl)-amide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-(2-nitro-phenylamino)-3-phenylmethanesulfonyl-propionamide;

*N*-[1-(benzooxazole-2-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(pyrimidin-2-ylamino)-propionamide.

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-(5-nitro-thiazol-2-ylamino)-3-phenylmethanesulfonyl-propionamide;

(2*S*) (4,4-difluoro-2-hydroxy-5-phenyl-pentanoic acid (1(*S*)-cyano-3-phenyl-propyl)-amide;

*N*-(1(*S*)-cyano-3-phenyl-propyl)-2-(*S*)-(2-morpholin-4-yl-2-oxo-ethoxy)-4-phenyl-butyramide;

N-(1-(S)-cyano-3-phenyl-propyl)-2-(S)-fluoro-4-phenyl-butyramide;  
N-(1-(S)-cyano-3-phenyl-propyl)-2,2-difluoro-4-phenyl-butyramide;  
N-(1-(S)-cyano-3-phenyl-propyl)-2-(S)-hydroxy-4-phenyl-butyramide;  
N-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-hydroxy-4-phenyl-butyramide;  
N-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-methoxy-4-phenyl-butyramide;  
2,2-difluoro-5-phenyl-pentanoic acid (1-cyano-cyclopropyl)-amide;  
N-(1-(S)-cyano-3-phenyl-propyl)-4-phenyl-butyramide;  
2,2-difluoro-5-phenyl-pentanoic acid ((S)-1-cyano-3-phenyl-propyl)-amide;  
N-(4-cyano-1-ethyl-piperidin-4-yl)-3-cyclohexyl-propionamide;  
N-(4-cyano-1-ethyl-piperidin-4-yl)-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;  
(S)-tert-butyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(R)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-(2-difluoromethoxy-phenylmethanesulfonyl)-ethyl ester;  
(S)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(R)-morpholine-4-carboxylic acid 1-(1-cyano-cyclopropylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;  
(R)-morpholine-4-carboxylic acid 1-(4-cyano-tetrahydro-pyran-4-ylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;  
3-cyclohexyl-2-hydroxy-N-[1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propyl]-propionamide;  
    (R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;  
    (R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
    (R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;  
    (R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;  
    (R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
    (R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;



(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

(S)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;

(S)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;

(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

(1S)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-(S)-fluoro-4-phenyl-butyramide;

2,2-difluoro-5-phenyl-pentanoic acid [(S)-1-(benzoxazole-2-carbonyl)-butyl]-amide;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-2-cyclohexyl-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-3-cyclohexyl-propyl ester;

4-[4,4-dimethyl-2-(morpholine-4-carbonyloxy)-pentanoylamino]-3-oxo-azepane-1-carboxylic acid benzyl ester;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cycloheptylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-3-phenylmethanesulfonyl-N-[(S)-3-phenyl-1-(thiazole-2-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-3-phenyl-propyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-cyclopropylmethanesulfonyl-N-[1-(5-ethyl-1,2,4-oxadiazole-3-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-phenylmethanesulfonyl-N-[1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(3-cyclopropyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(S)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-thiophen-2-yl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl)-carbamic acid tert-butyl ester;  
((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;  
{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
{(R)-1-[(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl)-carbamic acid tert-butyl ester;  
((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;  
{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
{(R)-1-[(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
(R)-2-phenylmethanesulfonyl-1-{(S)-1-[(3-cyclopropyl-1,2,4-oxadiazol-5-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;  
(R)-N-[1-(Benzoxazole-2-carbonyl)-butyl]-2-[cyclopropylmethyl-(tetrahydro-pyran-4-ylmethyl)-amino]-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;  
(S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;  
S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;  
N-cyanomethyl-3-cyclohexyl-propionamide;  
N-cyanomethyl-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;  
3-(3-cyclohexyl-propionylamino)-2-oxo-5-phenyl-pentanoic acid thiazol-2-ylamide;  
3-cyclohexyl-N-(1-formyl-3-phenyl-propyl)-propionamide;  
3-(2-difluoromethoxy-phenylmethanesulfonyl)-N-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-propionamide;  
N-[(S)-1-(benzoxazole-2-carbonyl)-propyl]-2-(2-cyano-phenylamino)-3-cyclohexyl-propionamide;  
N-Cyanomethyl-3-cyclohexyl-2-(4-methoxy-phenoxy)-propionamide;  
2-benzyloxy-N-cyanomethyl-3-cyclohexyl-propionamide;  
(R)-N-[(S)-1-(1-benzoxazol-2-yl-methanoyl)-butyl]-2-benzyloxy-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[(S)-1-(1-benzoxazol-2-yl-methanoyl)-propyl]-2-methoxymethoxy-3-phenylmethanesulfonyl-propionamide;  
(S)-N-[(S)-1-(1-benzoxazol-2-yl-methanoyl)-butyl]-2-hydroxy-3-phenyl-propionamide;  
(R)-N-[(S)-1-(1-benzoxazol-2-yl-methanoyl)-propyl]-3-phenylmethanesulfonyl-2-triisopropylsilanyloxy-propionamide;  
(R)-N-[(S)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenylmethanesulfonyl-propionamide;  
(R)-2-hydroxy-3-phenylmethanesulfonyl-N-[(S)-1-(1-pyridazin-3-yl-methanoyl)-butyl]-propionamide;  
(S)-3-((R)-2-hydroxy-3-phenylmethanesulfonyl-propanoylamino)-2-oxo-pentanoic acid benzylamide;  
(R)-N-[(S)-1-(1-benzoxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide; and

(2*R*,5*S*)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonylmethyl]-6-ethoxy-5-ethyl-morpholin-3-one; or[[and]] their corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

15. (Original) A compound of claim 14 selected from the group consisting of:

(*R*)-*N*-cyanomethyl-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

(*R*)-*N*-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;

(*R*)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

(*S*)-diethyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-pyrrolidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-4-Ethyl-piperazine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-2-hydroxymethyl-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2,2,2-Trifluoro-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(S)-(2-hydroxyethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(Tetrahydrofuran-2-ylmethyl)-carbamic acid (S)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(S)-Azetidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(S)-cyclopropyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(S)-piperidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(S)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(R)-3-hydroxy-pyrrolidine-1-carboxylic acid (S)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(S)-3-hydroxy-pyrrolidine-1-carboxylic acid (S)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(S)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-3-cyclohexyl-propyl ester;  
morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;  
morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;  
pyrrolidine-1-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
dimethyl-carbamic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzylcarbamoyl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
morpholine-4-carboxylic acid (*S*)-1-[(*S*)-1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
morpholine-4-carboxylic acid (*S*)-1-[(*S*)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

(S)-2-{(R)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propanoylamino}-*N*-methoxy-*N*-methyl-butylamide;

(R)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-*N*-((S)-1-formyl-propyl)-2-hydroxy-propionamide;

(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenyl-methanesulfonyl-propionamide;

(S)-3-{3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propanoylamino}-2-oxo-pentanoic acid benzylamide;

*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propionamide;

*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-3-phenyl-propyl]-3-*p*-tolylmethanesulfonyl-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-pyrrolidin-1-yl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-3-morpholin-4-yl-2,3-dioxo-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-piperazin-1-yl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[3-(1,1-dioxo-1,6-thiomorpholin-4-yl)-1-ethyl-2,3-dioxo-propyl]-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[1-ethyl-3-(4-methyl-sulfonyl-piperazin-1-yl)-2,3-dioxo-propyl]-propionamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid dimethylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid cyclopentyl-ethyl-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid phenylamide;



3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid  
pyridin-3-ylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid  
(tetrahydro-pyran-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (1-  
benzoyl-piperidin-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (2-  
morpholin-4-yl-ethyl)-amide;

(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-(2-nitro-phenylamino)-3-  
phenylmethanesulfonyl-propionamide;

*N*-[1-(benzooxazole-2-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(pyrimidin-2-ylamino)-  
propionamide.

(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-(5-nitro-thiazol-2-ylamino)-3-  
phenylmethanesulfonyl-propionamide;

(2S) (4,4-difluoro-2-hydroxy-5-phenyl-pentanoic acid (1(S)-cyano-3-phenyl-propyl)-amide;

*N*-(1(S)-cyano-3-phenyl-propyl)-2-(S)-(2-morpholin-4-yl-2-oxo-ethoxy)-4-phenyl-butyramide;

*N*-(1-(S)-cyano-3-phenyl-propyl)-2-(S)-fluoro-4-phenyl-butyramide;

*N*-(1-(S)-cyano-3-phenyl-propyl)-2,2-difluoro-4-phenyl-butyramide;

*N*-(1-(S)-cyano-3-phenyl-propyl)-2-(S)-hydroxy-4-phenyl-butyramide;

*N*-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-hydroxy-4-phenyl-butyramide;

*N*-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-methoxy-4-phenyl-butyramide;

2,2-difluoro-5-phenyl-pentanoic acid (1-cyano-cyclopropyl)-amide;

*N*-(1-(S)-cyano-3-phenyl-propyl)-4-phenyl-butyramide;

2,2-difluoro-5-phenyl-pentanoic acid ((S)-1-cyano-3-phenyl-propyl)-amide;

*N*-(4-cyano-1-ethyl-piperidin-4-yl)-3-cyclohexyl-propionamide;

*N*-(4-cyano-1-ethyl-piperidin-4-yl)-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;

(S)-tert-butyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(R)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-(2-difluoromethoxy-phenylmethanesulfonyl)-  
ethyl ester;

(S)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(R)-morpholine-4-carboxylic acid 1-(1-cyano-cyclopropylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;  
(R)-morpholine-4-carboxylic acid 1-(4-cyano-tetrahydro-pyran-4-ylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;  
3-cyclohexyl-2-hydroxy-*N*-[1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propyl]-propionamide;  
(R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-*N*-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-*N*-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;  
(R)-*N*-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;  
(R)-*N*-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;  
(S)-*N*-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;  
(S)-*N*-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;  
(R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-*N*-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

(1S)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-(S)-fluoro-4-phenyl-butyramide;

2,2-difluoro-5-phenyl-pentanoic acid [(S)-1-(benzoxazole-2-carbonyl)-butyl]-amide;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-2-cyclohexyl-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-3-cyclohexyl-propyl ester;

4-[4,4-dimethyl-2-(morpholine-4-carbonyloxy)-pentanoylamino]-3-oxo-azepane-1-carboxylic acid benzyl ester;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cycloheptylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-3-phenylmethanesulfonyl-N-[(S)-3-phenyl-1-(thiazole-2-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-3-phenyl-propyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-cyclopropylmethanesulfonyl-N-[1-(5-ethyl-1,2,4-oxadiazole-3-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-phenylmethanesulfonyl-N-[1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(3-cyclopropyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(S)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-thiophen-2-yl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl)-carbamic acid tert-butyl ester;  
((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;  
{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
{(R)-1-[(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;  
(R)-2-phenylmethanesulfonyl-1-{(S)-1-[(3-cyclopropyl-1,2,4-oxadiazol-5-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;  
(R)-N-[1-(Benzoxazole-2-carbonyl)-butyl]-2-[cyclopropylmethyl-(tetrahydro-pyran-4-ylmethyl)-amino]-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;  
(S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;  
S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;  
(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;  
*N*-cyanomethyl-3-cyclohexyl-propionamide;  
*N*-cyanomethyl-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;  
3-(3-cyclohexyl-propionylamino)-2-oxo-5-phenyl-pentanoic acid thiazol-2-ylamide;  
3-cyclohexyl-*N*-(1-formyl-3-phenyl-propyl)-propionamide;  
3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-propionamide;  
*N*-[(S)-1-(benzoxazole-2-carbonyl)-propyl]-2-(2-cyano-phenylamino)-3-cyclohexyl-propionamide;  
*N*-Cyanomethyl-3-cyclohexyl-2-(4-methoxy-phenoxy)-propionamide;  
2-benzyloxy-*N*-cyanomethyl-3-cyclohexyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-benzyloxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-methoxymethoxy-3-phenylmethanesulfonyl-propionamide;

(*S*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-hydroxy-3-phenyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-phenylmethanesulfonyl-2-triisopropylsilanyloxy-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-2-hydroxy-3-phenylmethanesulfonyl-*N*-[(*S*)-1-(1-pyridazin-3-yl-methanoyl)-butyl]-propionamide;

(*S*)-3-((*R*)-2-hydroxy-3-phenylmethanesulfonyl-propanoylamino)-2-oxo-pentanoic acid benzylamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide; and

(2*R*,5*S*)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonylmethyl]-6-ethoxy-5-ethyl-morpholin-3-one.

16. (Currently Amended) A compound of claim 15 selected from the group consisting of:

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester, (Compound 31);

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 11);

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester, (Compound 14);

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester, (Compound 15);  
pyrrolidine-1-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 19);  
dimethyl-carbamic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 20);  
morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzylcarbamoyl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 25);  
morpholine-4-carboxylic acid (*S*)-1-[(*S*)-1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
morpholine-4-carboxylic acid (*S*)-1-[(*S*)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
(*R*)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-*N*-[(*S*)-1-formyl-propyl]-2-hydroxy-propionamide;  
(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenyl-methanesulfonyl-propionamide;  
(*S*)-3-{3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propanoylamino}-2-oxo-pentanoic acid benzylamide;  
(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-(2-nitro-phenylamino)-3-phenylmethanesulfonyl-propionamide;  
(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-(5-nitro-thiazol-2-ylamino)-3-phenylmethanesulfonyl-propionamide;  
(*R*)-*N*-[(*S*)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;  
(*R*)-*N*-[(*S*)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl propionamide;  
(*R*)-*N*-[(*S*)-1-(benzoxazole-2-carbonyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;  
(*R*)-*N*-[(*S*)-1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;



morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-ethyl ester;

(S)-3-((R)-2-hydroxy-3-phenylmethanesulfonyl-propanoylamino)-2-oxo-pentanoic acid benzylamide; and

(R)-N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide.

17. (Original) A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 1 in combination with a pharmaceutically acceptable excipient.

18. (Original) A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 2 in combination with a pharmaceutically acceptable excipient.

19. (Withdrawn) A method for treating a disease in an animal in which inhibition of Cathepsin S can prevent, inhibit or ameliorate the pathology and/or symptomology of the disease, which method comprises administering to the animal a therapeutically effective amount of compound of Claim 1 or Claim 2.

20. (Withdrawn) The use of a compound of Claim 1 or 2 in the manufacture of a medicament for treating a disease in an animal in which Cathepsin S activity contributes to the pathology and/or symptomology of the disease.